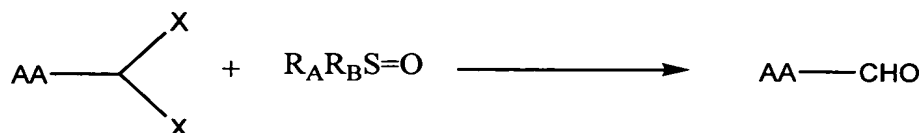


**What is Claimed Is:**

1. A method comprising reacting a dihalomethyl compound with a  
 5 sulfoxide in the absence of an effective amount of an activating reagent to form the  
 corresponding aldehyde, according to the reaction:



wherein

- AA represents an aryl group, or an alkenyl or alkynyl group;  
 10 X represents F, Cl, Br, or I; and  
 R<sub>A</sub> and R<sub>B</sub> are each an alkyl or aryl group independently selected from the group  
 consisting of C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted by a C<sub>4</sub>-C<sub>8</sub> cycloalkyl or phenyl group,  
 C<sub>4</sub>-C<sub>8</sub> cycloalkyl optionally substituted by up to two C<sub>1</sub>-C<sub>3</sub> alkyl groups, and phenyl  
 optionally substituted by up to five C<sub>1</sub>-C<sub>3</sub> alkyl groups.

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2. A method according to claim 1 wherein AA is selected from the group  
 consisting of phenyl, naphthyl, indolyl, biphenyl, pyridinyl, pyrrolyl, quinoliny,  
 isoquinoliny, pyrimidinyl, furyl, oxazolyl, thioazolyl, and isoxazolyl, and straight,  
 branched, cyclic and bicyclic alkenyl and alkynyl groups having from 2 to 12 carbon  
 20 atoms, each of which may be substituted or unsubstituted.

3. A method according to claim 2 wherein R<sub>A</sub> and R<sub>B</sub> are each  
 independently selected from the group consisting of phenyl, methyl, ethyl and  
 tetramethylene.

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4. A method according to claim 2 wherein AA is selected from the group  
 consisting of phenyl, biphenyl and indolyl, each of which may be substituted or  
 unsubstituted.

- 30 5. A method according to claim 1 wherein AA is phenyl or biphenyl  
 optionally substituted by one to three substituents independently selected from the

group consisting of halogen, cyano, nitro, hydroxy,  $R_C$  alkyl,  $-C(O)OR_C$  alkyl,  $-NR_C R_D$ ,  $-C(O)NR_C R_D$  amide,  $S(O)_2 R_C R_D$ ,  $NR_1 C(O)NR_C R_D$ , or  $-OC(O)NR_C R_D$  group, where  $R_C$  and  $R_D$  are each  $C_1$ - $C_4$  alkyl.

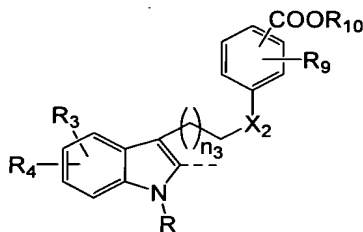
- 5            6.        A method according to claim 5 wherein AA is phenyl optionally substituted by one substituent selected from the group consisting of halogen, cyano, nitro, hydroxy,  $R_C$  alkyl,  $-C(O)OR_C$  alkyl,  $-NR_C R_D$ ,  $-C(O)NR_C R_D$  amide,  $S(O)_2 R_C R_D$ ,  $NR_1 C(O)NR_C R_D$ , or  $-OC(O)NR_C R_D$  group, where  $R_C$  and  $R_D$  are each  $C_1$ - $C_4$  alkyl.

- 10           7.        A method according to claim 6 wherein said reaction occurs at a temperature in the approximate range of 20 -120°C.

8.        A method according to claim 1 wherein AA is an optionally substituted 2-indolyl group.

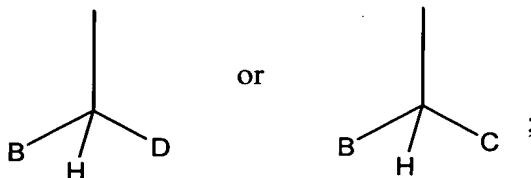
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9.        A method according to claim 8 wherein AA is



wherein:

- 20           R is selected from the formulae  $-(CH_2)_n-A$ ,  $-(CH_2)_n-S-A$ , or  $-(CH_2)_n-O-A$ , wherein A is selected from the moieties:



wherein

D is  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy,  $C_3$ - $C_6$  cycloalkyl  $-CF_3$  or  $-(CH_2)_{1-3}-CF_3$ ;

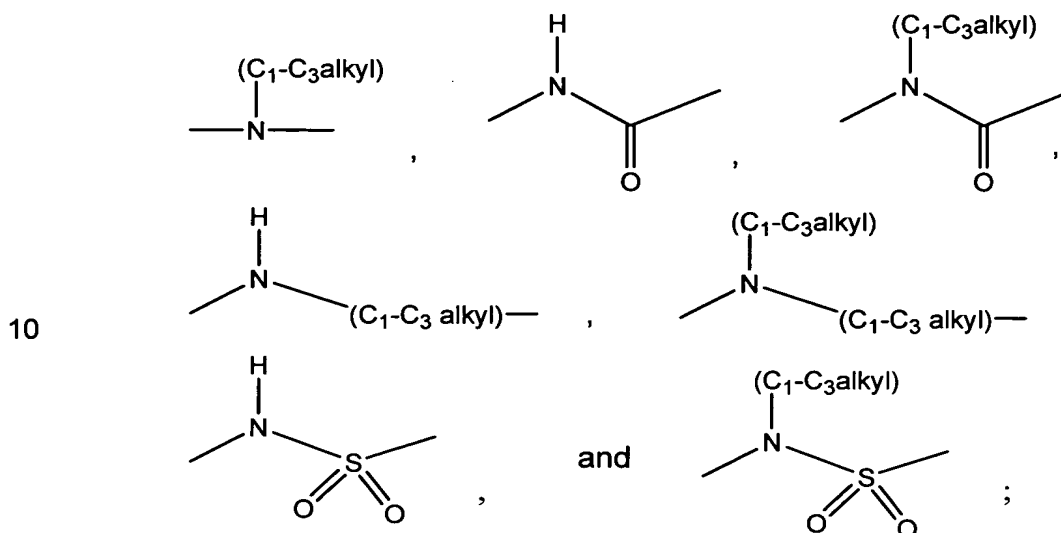
- 25           B and C are independently selected from phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl or pyrrolyl groups, each optionally substituted by from 1 to 3,

preferably 1 to 2, substituents selected independently from H, halogen, -CN, -CHO, -CF<sub>3</sub>, -OCF<sub>3</sub>, -OH, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, -NH(C<sub>1</sub>-C<sub>6</sub>), -N-C(O)-(C<sub>1</sub>-C<sub>6</sub>), -NO<sub>2</sub>, and a 5- or 6-membered heterocyclic or heteroaromatic ring containing 1 or 2 heteroatoms selected from O, N or S;

5 n is an integer from 0 to 3;

n<sub>3</sub> is an integer from 0 to 3;

X<sub>2</sub> is selected from the group consisting of -O-, -CH<sub>2</sub>-, -S-, -SO-, -SO<sub>2</sub>-, -NH-, -C(O)-,



R<sub>3</sub> is selected from the group consisting of H, halogen, -CN, -CHO, -CF<sub>3</sub>, -OCF<sub>3</sub>,

-OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> thioalkyl, -NH<sub>2</sub>, -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, -NH(C<sub>1</sub>-C<sub>6</sub>), -N-C(O)-(C<sub>1</sub>-C<sub>6</sub>), and -NO<sub>2</sub>;

15 R<sub>4</sub> is selected from H, halogen, -CN, -CHO, -CF<sub>3</sub>, -OCF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> thioalkyl, -NH<sub>2</sub>, -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, -NH(C<sub>1</sub>-C<sub>6</sub>), -N-C(O)-(C<sub>1</sub>-C<sub>6</sub>), -NO<sub>2</sub>, -N-C(O)-N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>2</sub>, -N-C(O)-NH(C<sub>1</sub>-C<sub>3</sub> alkyl), -N-C(O)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl), -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, -S-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -S-CH<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -SO<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -SO<sub>2</sub>-CH<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -CH<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -O-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -O-CH<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, phenyl, benzyl, benzyloxy, morpholino or other heterocycles such as pyrrolidino, piperidine, piperazine, furan, thiophene, imidazole, tetrazole, pyrazine, pyrazolone, pyrazole, imidazole, oxazole and isoxazole, the rings of each of these R<sub>4</sub> groups each being optionally substituted by from 1 to 3 substituents

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25 selected from the group of H, halogen, -CN, -CHO, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub>

alkoxy,  $-\text{NH}_2$ ,  $-\text{N}(\text{C}_1\text{-C}_6)_2$ ,  $-\text{NH}(\text{C}_1\text{-C}_6)$ ,  $-\text{N-C}(\text{O})-(\text{C}_1\text{-C}_6)$ ,  $-\text{NO}_2$ ,  $-\text{SO}_2(\text{C}_1\text{-C}_3 \text{ alkyl})$ ,  $-\text{SO}_2\text{NH}(\text{C}_1\text{-C}_3 \text{ alkyl})$ ,  $-\text{SO}_2\text{N}(\text{C}_1\text{-C}_3 \text{ alkyl})_2$ , and  $\text{OCF}_3$ ;

$\text{R}_9$  is selected from the group consisting of H, halogen,  $-\text{CN}$ ,  $-\text{CHO}$ ,  $-\text{CF}_3$ ,  $-\text{OH}$ ,  $-\text{C}_1\text{-C}_6 \text{ alkyl}$ ,  $\text{C}_1\text{-C}_6 \text{ alkoxy}$ ,  $-\text{NH}_2$ ,  $-\text{N}(\text{C}_1\text{-C}_6)_2$ ,  $-\text{NH}(\text{C}_1\text{-C}_6)$ ,  $-\text{N-C}(\text{O})-(\text{C}_1\text{-C}_6)$ , and  $-\text{NO}_2$ ; and,

$\text{R}_{10}$  is a  $\text{C}_1\text{-C}_6 \text{ alkyl}$  group.

10. A method according to claim 9 wherein  $\text{R}_A$  and  $\text{R}_B$  are each independently selected from the group consisting of phenyl, methyl, ethyl and tetramethylene.

11. A method according to claim 10 wherein  $\text{R}_A$  and  $\text{R}_B$  are each methyl.

12. A method according to claim 11 wherein said reaction occurs at a temperature in the approximate range of  $15\text{-}35^\circ\text{C}$ .

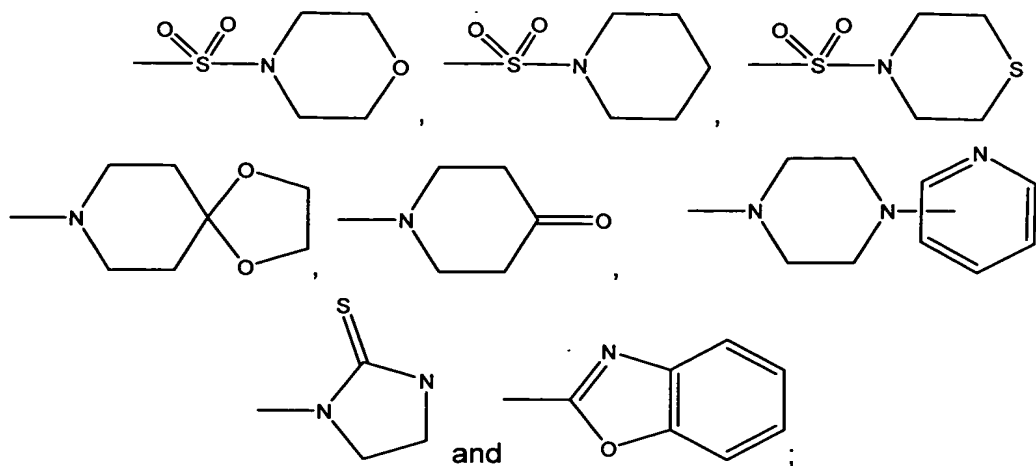
13. A method according to claim 11 further comprising:

a) reacting said aldehyde with nitromethane and a catalytic amount of ammonium acetate, followed by reduction with a  $\text{Zn}(\text{Hg})$  amalgam to convert the  $-\text{CHO}$  group to an ethylamine group;

b) reacting the ethylamine compound with  $\text{ClSO}_2(\text{CH}_2)_{n2}\text{X}_1\text{R}_1$ , wherein

$\text{R}_1$  is a moiety selected from  $\text{C}_1\text{-C}_6 \text{ alkyl}$ ,  $\text{C}_1\text{-C}_6 \text{ fluorinated alkyl}$ ,  $\text{C}_3\text{-C}_6 \text{ cycloalkyl}$ , tetrahydropyranyl, camphoryl, adamantyl,  $\text{CN}$ ,  $-\text{N}(\text{C}_1\text{-C}_6 \text{ alkyl})_2$ , phenyl, pyridinyl, pyrimidinyl, furyl, thienyl, naphthyl, morpholinyl, triazolyl, pyrazolyl, piperidinyl, pyrrolidinyl, imidazolyl, piperizinyl, thiazolidinyl, thiomorpholinyl, tetrazole, indole, benzoxazole, benzofuran, imidazolidine-2-thione, 7,7-dimethyl-bicyclo[2.2.1]heptan-2-one, Benzo[1,2,5]oxadiazole, 2-Oxa-5-aza-bicyclo[2.2.1]heptane, Piperazin-2-one or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents independently selected from H, halogen,  $-\text{CN}$ ,  $-\text{CHO}$ ,  $-\text{CF}_3$ ,  $\text{OCF}_3$ ,  $-\text{OH}$ ,  $-\text{C}_1\text{-C}_6 \text{ alkyl}$ ,  $\text{C}_1\text{-C}_6 \text{ alkoxy}$ ,  $-\text{NH}_2$ ,  $-\text{N}(\text{C}_1\text{-C}_6)_2$ ,  $-\text{NH}(\text{C}_1\text{-C}_6)$ ,  $-\text{N-C}(\text{O})-(\text{C}_1\text{-C}_6)$ ,  $-\text{NO}_2$ ,  $-\text{SO}_2(\text{C}_1\text{-C}_3 \text{ alkyl})$ ,  $-\text{SO}_2\text{NH}_2$ ,  $-\text{SO}_2\text{NH}(\text{C}_1\text{-C}_3 \text{ alkyl})$ ,  $-\text{SO}_2\text{N}(\text{C}_1\text{-C}_3 \text{ alkyl})_2$ ,  $-\text{COOH}$ ,  $-\text{CH}_2\text{-COOH}$ ,  $-\text{CH}_2\text{-N}(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,  $-\text{CH}_2\text{-N}(\text{C}_1\text{-C}_6 \text{ alkyl})_2$ ,  $-\text{CH}_2\text{-NH}_2$ , pyridine, 2-Methyl-thiazole, morpholino, 1-Chloro-2-methyl-propyl,  $-\text{C}_1\text{-}$

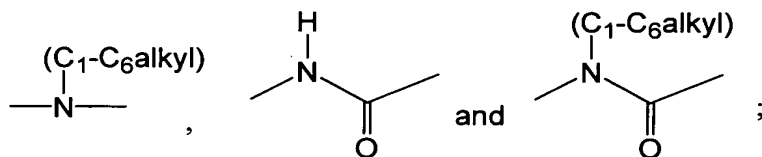
C<sub>6</sub>thioalkyl, phenyl (further optionally substituted with halogens), benzyloxy, (C<sub>1</sub>-C<sub>3</sub> alkyl)C(O)CH<sub>3</sub>, (C<sub>1</sub>-C<sub>3</sub> alkyl)OCH<sub>3</sub>, C(O)NH<sub>2</sub>, and



X<sub>1</sub> is selected from a chemical bond, -S-, -O-, -S(O)-, -S(O)<sub>2</sub>-, -NH-, -NHC(O)-

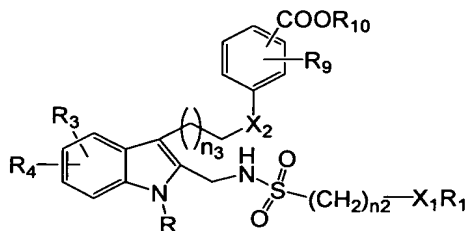
, -C=C-,

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and, n<sub>2</sub> is an integer from 0 to 4,

to form a final compound of formula



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14. The method of claim 13 further comprising hydrolyzing the ester group of the final compound to form a compound of the formula

